L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:638740 CAPLUS Full-text
DN 143:153400
TI Preparation of new derivatives of 6-{4-[4-(1H-indole-2-sulfonyl)piperazine-1-carbonyl]phenyl}pyridazin-3-one for treating a Factor Xa mediated disease or condition
IN Bratt, Emma; Chen, Yantao; Granberg, Kenneth; Nilsson, Ingemar
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005065688	A1	20050721	WO 2005-SE11	20050105
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	EP 1706120	A1	20061004	EP 2005-704685	20050105
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	CN 1905883	A	20070131	CN 2005-80001963	20050105
	JP 2007517870	T	20070705	JP 2006-549187	20050105
	IN 2006DN03554	A	20070831	IN 2006-DN3554	20060620
	US 2007135441	A1	20070614	US 2006-584473	20060623
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OS MARPAT 143:153400
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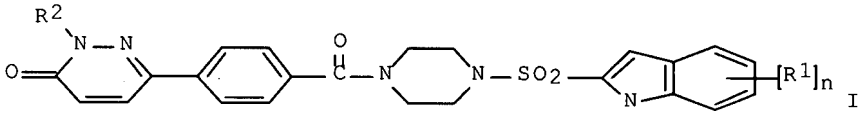
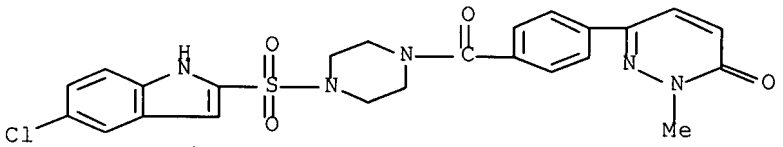


AB The title compds. I [R2 = NH2, OR4 or YR5 (wherein R4 = H, alkyl; Y = alkylene; R5 = H, halo, OH, alkoxy, etc.); n = 1-2; R1 = halo, haloalkyl, OH, oxo, NH2, alkylamino or dialkylamino] which possess antithrombotic and anticoagulant properties and are accordingly useful in methods of treatment of humans or animals, were prepared Thus, cyclization of 4-acetylbenzoic acid with glyoxalic acid and with Me hydrazine followed by reacting the resulting 4-(1-methyl-6-oxo-1,6-dihydropyridazin-3-yl)benzoic acid with 5-chloro-2-(piperazin-1-ylsulfonyl)-1H-indole afforded I [R1 = 5-Cl; R2 = Me]. The exemplified compds. I gave IC50 of < 10 μM against Factor Xa. The invention also relates to processes for the preparation of the compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of medicaments for use in the production of an antithrombotic or anticoagulant effect.

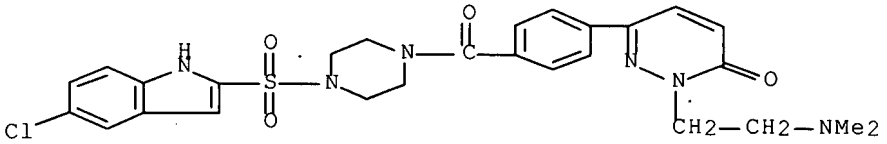
IT 860013-19-0P 860013-20-3P 860013-21-4P
860013-22-5P 860013-23-6P 860013-24-7P
860013-25-8P 860013-26-9P 860013-27-0P

860013-28-1P 860013-29-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of 6-{4-[4-(1H-indole-2-sulfonyl)piperazine-1-carbonyl]phenyl}pyridazin-3-ones for treating a Factor Xa mediated disease or condition)

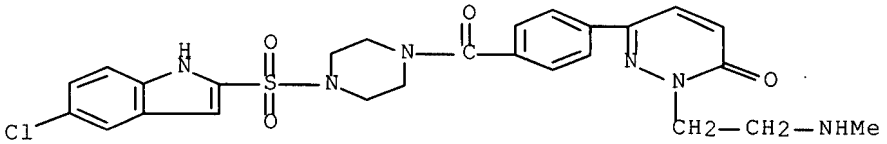
RN 860013-19-0 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-1-methyl-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



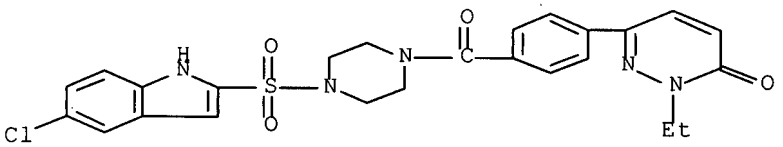
RN 860013-20-3 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1-[2-(dimethylamino)ethyl]-1,6-dihydro-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 860013-21-4 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1,6-dihydro-1-[2-(methylamino)ethyl]-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 860013-22-5 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-ethyl-1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



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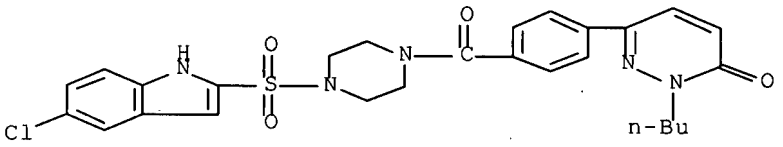
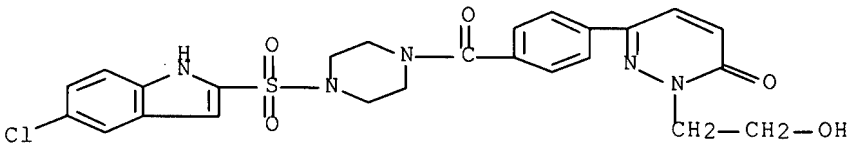
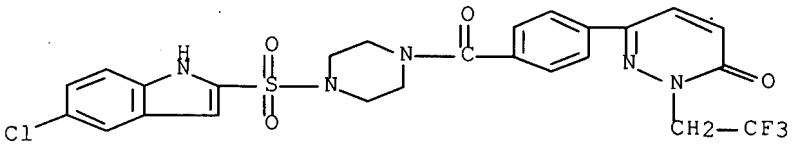


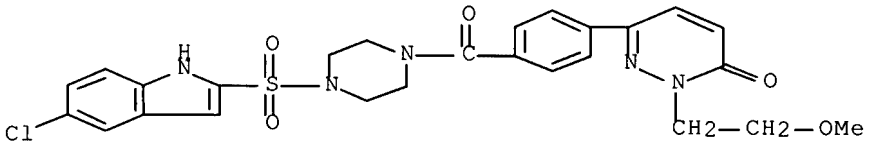
RN 860013-24-7 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1,6-dihydro-1-(2-hydroxyethyl)-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 860013-25-8 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1,6-dihydro-6-oxo-1-(2,2,2-trifluoroethyl)-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)

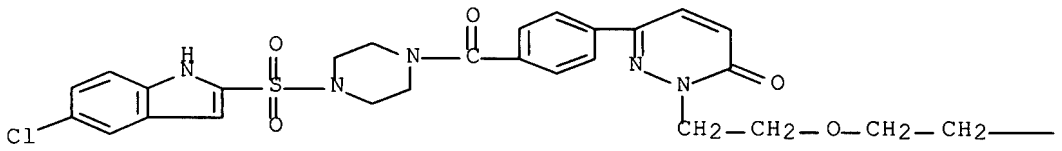


RN 860013-26-9 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1,6-dihydro-1-(2-methoxyethyl)-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 860013-27-0 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1,6-dihydro-1-[2-(2-methoxyethoxy)ethyl]-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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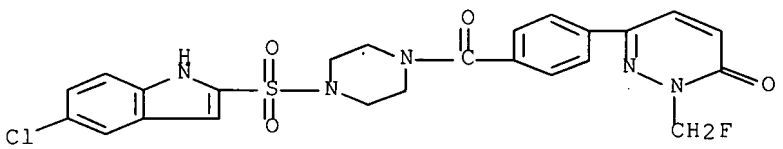
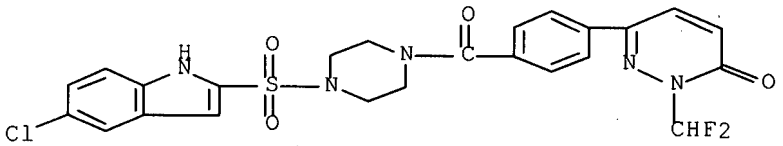
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1-(fluoromethyl)-1,6-dihydro-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



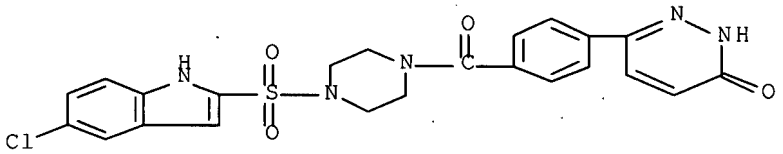
RN 860013-29-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[1-(difluoromethyl)-1,6-dihydro-6-oxo-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



IT 249292-10-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 6-{4-[4-(1H-indole-2-sulfonyl)piperazine-1-carbonyl]phenyl}pyridazin-3-ones for treating a Factor Xa mediated disease or condition)

RN 249292-10-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:723030 CAPLUS Full-text
DN 131:322629
TI Preparation of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
IN Caulkett, Peter William Rodney; James, Roger; Pearson, Stuart Eric; Slater, Anthony Michael; Walker, Rolf Peter
PA Zeneca Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9957113	A1	19991111	WO 1999-GB1308	19990427
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 9936206	A	19991123	AU 1999-36206	19990427
	AU 754453	B2	20021114		
	BR 9910179	A	20010109	BR 1999-10179	19990427
	TR 200003200	T2	20010221	TR 2000-200003200	19990427
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	HU 200101712	A2	20011128	HU 2001-1712	19990427
	EE 200000527	A	20020215	EE 2000-527	19990427
	NZ 507835	A	20030131	NZ 1999-507835	19990427
	CN 1133634	B	20040107	CN 1999-808218	19990427
	RU 2225865	C2	20040320	RU 2000-130219	19990427
	IL 139406	A	20040725	IL 1999-139406	19990427
	AT 282610	T	20041215	AT 1999-918178	19990427
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	EP 1528061	A1	20050504	EP 2004-22155	19990427
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	IN 1999DE00659	A	20050701	IN 1999-DE659	19990429
	ZA 2000006031	A	20020125	ZA 2000-6031	20001025
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	NO 2000005497	A	20001221	NO 2000-5497	20001101
	NO 320893	B1	20060206		
	US 6753331	B1	20040622	US 2001-674559	20010104
	HK 1034711	A1	20050513	HK 2001-105226	20010726
	US 2004266759	A1	20041230	US 2004-817960	20040406
PRAI	GB 1998-9351	A	19980502		
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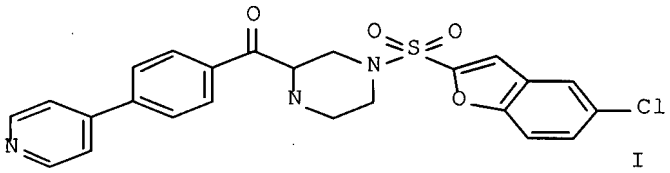


I

AB RZCOZ1SO2R1 [R = (un)substituted heteroaryl; R1 = (un)substituted 2-indolyl, -2-benzimidazolyl, -2-benzo[b]furanyl, etc.; Z = (un)substituted 1,4-phenylene; Z1 = (un)substituted piperidine-4,1-diyl or -piperazine-1,4-diyl] were prepared Thus, 5-chlorobenzo[b]furan-2-sulfonyl chloride was amidated by piperazine and the product amidated by 4-(4-pyridyl)benzoic acid to give title compound I. Data for biol. activity of I were given.

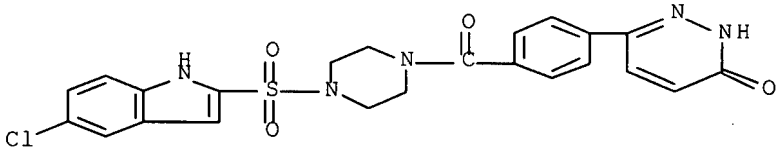
IT 249292-10-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors)

RN 249292-10-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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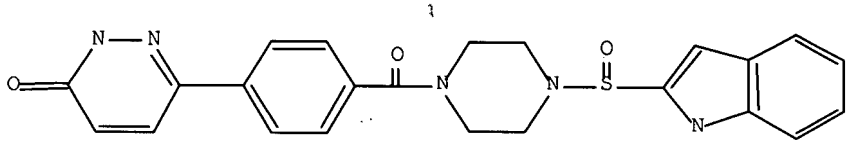

Structure attributes must be viewed using STN Express query preparation.

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L3 0 S L2
L4 12 S L2 FUL

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FILE 'MARPAT' ENTERED AT 13:40:01 ON 24 SEP 2007
L6 0 S L4
L7 1 S L4 FUL
L8 0 S L7 NOT L5

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	62.80	247.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.56

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